

**(19) World Intellectual Property Organization
International Bureau**



(43) International Publication Date
20 December 2001 (20.12.2001)

(10) International Publication Number
WO 01/96304 A1

PCT

- (51) **International Patent Classification⁷:** **C07D 211/26**, A61K 31/445, A61P 7/02, A61K 31/40, C07D 401/06, 401/12, 403/12, 401/14, 417/12, 417/14

(21) **International Application Number:** PCT/GB01/02572

(22) **International Filing Date:** 12 June 2001 (12.06.2001)

(25) **Filing Language:** English

(26) **Publication Language:** English

(30) **Priority Data:**
PCT/GB00/02302 13 June 2000 (13.06.2000) GB
0030306.5 13 December 2000 (13.12.2000) GB

(71) **Applicant (for all designated States except US):** **ELI LILLY AND COMPANY** [US/US]; Lilly Corporate Center, Indianapolis, IN 46285 (US).

(72) **Inventors; and**

(75) **Inventors/Applicants (for US only):** **LIEBESCHUETZ, John, Walter** [GB/GB]; Laburnum Cottage, 42 Bollington Road, Bollington, Macclesfield, Cheshire SK10 5EJ (GB). **MURRAY, Christopher, William** [GB/GB]; 82 Moat Way, Swavesey, Cambridge CB4 5TR (GB). **YOUNG, Stephen, Clinton** [GB/GB]; 8 Cranbourne Road, Heaton Moor, Stockport SK4 4LD (GB). **CAMP, Nicholas, Paul** [GB/GB]; 10 Cooke Rise, Warfield, Bracknell, Berkshire RG42 2QN (GB). **JONES, Stuart, Donald** [GB/GB]; 17 Oakwood Drive, Prestbury, Macclesfield, Cheshire SK10 4HG (GB). **WYLIE, William, Alexander** [GB/GB]; 68 Drumhoy Drive, Carrickfergus, County Antrim (GB). **MASTERS, John, Joseph** [US/US]; 12047 Flint Stone Court, Fishers, IN 46038 (US). **WILEY, Michael, Robert** [US/US]; 7725 Langwood Drive, Indianapolis, IN 46268 (US). **SHEEHAN, Scott, Martin** [US/US]; 12485 Windbush Way, Carmel, IN 46033 (US). **ENGEL, David, Birenbaum** [CL/US]; 401 Tulip Tree, Bloomington, IN 47408 (US). **WATSON, Brian, Morgan** [US/US]; 3816 Brian Place, Carmel, IN 46033 (US).

(74) **Agents:** **HAY, Martin, Alexander** et al.; Martin A. Hay & Co., 13 Queen Victoria Street, Macclesfield, Cheshire SK11 6LP (GB).

(81) **Designated States (national):** AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.

(84) **Designated States (regional):** ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

Published:
— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

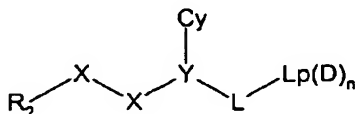
Published:

— *with international search report*

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

BEST AVAILABLE COPY

(54) Title: SERINE PROTEASE INHIBITORS



(I)

(57) Abstract: Compounds of formula (I) in which R₂, X, Y, Cy, L and Lp(D)_n have the meanings given in the specification, are inhibitors of the serine protease, factor Xa and are useful in the treatment of cardiovascular disorders.

WO 01/96304 A1

INTERNATIONAL SEARCH REPORT

In tional Application No

P B 01/02572

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D211/26 A61K31/445 A61P7/02 A61K31/40 C07D401/06
C07D401/12 C07D403/12 C07D401/14 C07D417/12 C07D417/14

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 99 11657 A (CREW ANDREW PHILIP AUSTIN ; JONES STUART DONALD (GB); MORGAN PHILLI) 11 March 1999 (1999-03-11) cited in the application claim 1	1-7, 11-26
X	WO 99 11658 A (JONES STUART DONALD ; MORGAN PHILLIP JOHN (GB); RIMMER ANDREW DAVID) 11 March 1999 (1999-03-11) cited in the application claim 1	1, 22-26
P, X	WO 00 76971 A (JONES STUART DONALD ; LYONS AMANDA JANE (GB); MORGAN PHILLIP JOHN () 21 December 2000 (2000-12-21) claims; examples	1-26

-/-

BEST AVAILABLE COPY

☒ Further documents are listed in the continuation of box C.☒ Patent family members are listed in annex.

* Special categories of cited documents :

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

T later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- *Z* document member of the same patent family

Date of the actual completion of the international search

8 August 2001

Date of mailing of the international search report

16/08/2001

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax: (+31-70) 340-3016

Authorized officer

De Jong, B

INTERNATIONAL SEARCH REPORT

Original Application No
PCT/GB 01/02572

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P, X	WO 00 76970 A (HARRISON MARTIN JAMES ; JONES STUART DONALD (GB); LYONS AMANDA JANE) 21 December 2000 (2000-12-21) claims; examples -----	1-26

BEST AVAILABLE COPY

INTERNATIONAL SEARCH REPORT

Information on patent family members

Patent Application No

PO 01/02572

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9911657 A	11-03-1999	AU 8875398 A	22-03-1999
		AU 8875798 A	22-03-1999
		EP 1012166 A	28-06-2000
		EP 1009758 A	21-06-2000
		WO 9911658 A	11-03-1999
		US 6262069 B	17-07-2001
WO 9911658 A	11-03-1999	AU 8875398 A	22-03-1999
		AU 8875798 A	22-03-1999
		EP 1012166 A	28-06-2000
		EP 1009758 A	21-06-2000
		WO 9911657 A	11-03-1999
		US 6262069 B	17-07-2001
WO 0076971 A	21-12-2000	AU 5413600 A	02-01-2001
		AU 5414000 A	02-01-2001
		AU 5546000 A	02-01-2001
		WO 0077027 A	21-12-2000
		WO 0076970 A	21-12-2000
WO 0076970 A	21-12-2000	AU 5413600 A	02-01-2001
		AU 5414000 A	02-01-2001
		AU 5546000 A	02-01-2001
		WO 0077027 A	21-12-2000
		WO 0076971 A	21-12-2000
		WO 0144226 A	21-06-2001

BEST AVAILABLE COPY